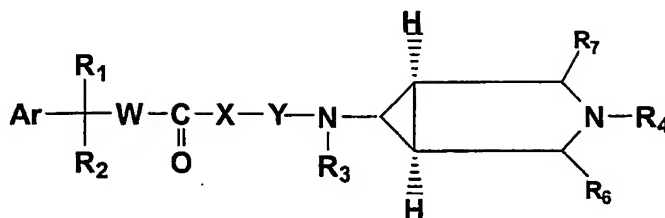


**We claim:**

- 1 1. Compounds having the structure of Formula I:



5 **Formula I**

6 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,  
 7 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or  
 8 metabolites, wherein

9 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the  
 10 group consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl  
 11 rings may be unsubstituted or substituted by one to three substituents  
 12 independently selected from lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhaloalkyl (C<sub>1</sub>-C<sub>4</sub>),  
 13 cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br, I), lower alkoxy (C<sub>1</sub>-C<sub>4</sub>), lower  
 14 perhalo- alkoxy (C<sub>1</sub>-C<sub>4</sub>), unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>) or N-  
 15 lower alkylamino carbonyl (C<sub>1</sub>-C<sub>4</sub>);

16 R<sub>1</sub> represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or  
 17 halogen (e.g. fluorine, chlorine, bromine and iodine);

18 R<sub>2</sub> represents alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl ring in which any 1-4 hydrogen atoms are  
 19 substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;

20 W represents (CH<sub>2</sub>)<sub>p</sub>, where p represents 0 to 1;

21 X represents an oxygen, sulphur, NR or no atom wherein R represents  
 22 hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl;

23 Y represents CHR<sub>5</sub>CO wherein R<sub>5</sub> represents hydrogen, methyl or (CH<sub>2</sub>)<sub>q</sub>  
 24 wherein q represents 0 to 4;

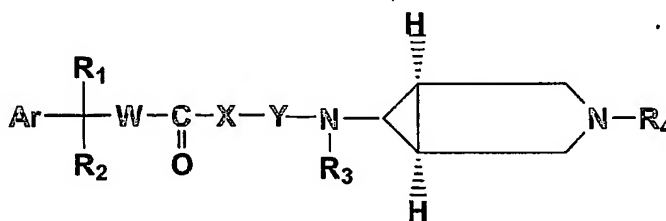
25 R<sub>3</sub> represents hydrogen, lower alkyl or CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>;

26  $R_6$  and  $R_7$  are independently selected from H, lower alkyl, COOH, CONH<sub>2</sub>, NH<sub>2</sub>,  
 27 CH<sub>2</sub>NH<sub>2</sub>; and

28  $R_4$  represents C<sub>1</sub>-C<sub>15</sub> saturated or unsaturated aliphatic hydrocarbon (straight chain  
 29 or branched) in which any 1 to 6 hydrogen atoms may be substituted with the  
 30 group independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl  
 31 or heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting  
 32 of nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen  
 33 atoms on an aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl  
 34 group may be substituted with lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhalo alkyl (C<sub>1</sub>-C<sub>4</sub>),  
 35 cyano, hydroxy, nitro, lower alkoxy carbonyl, halogen, lower alkoxy (C<sub>1</sub>-C<sub>4</sub>), lower  
 36 perhaloalkoxy (C<sub>1</sub>-C<sub>4</sub>), unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>), or N-  
 37 lower alkylamino carbonyl (C<sub>1</sub>-C<sub>4</sub>).

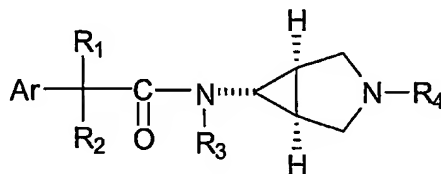
1 2. A compound according to claim 1 having the structure of Formula II and its  
 2 pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,  
 3 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein

4  $Ar$ ,  $R_1$ ,  $R_2$ ,  $W$ ,  $X$ ,  $Y$ ,  $R_3$  and  $R_4$  are as defined for formula I.



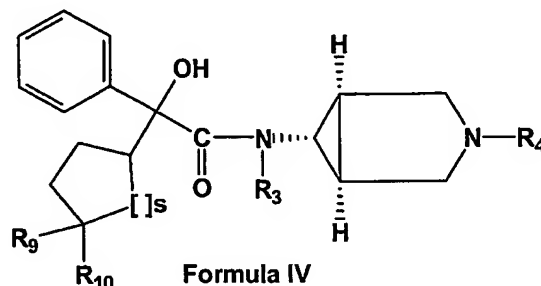
8 **Formula II**

1 3. A compound according to claim 1 having the structure of Formula III and its  
 2 pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,  
 3 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein  
 4  $Ar$ ,  $R_1$ ,  $R_2$ ,  $R_3$  and  $R_4$  are as defined for Formula I.



7 **Formula III**

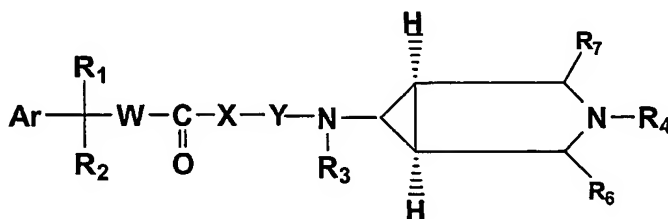
- 1 4. A compound according to claim 1 having the structure of Formula IV and its  
 2 pharmaceutically acceptable salts, esters, enantiomers, diastereomers, N-oxides,  
 3 prodrugs, or metabolites wherein  $R_3$  and  $R_4$  are as defined for Formula I, and s  
 4 represents 1 to 2,  $R_9$  is H or F and  $R_{10}$  is F.



- 5
- 1 5. A compound selected from the group consisting of
- 2 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3-
- 3 oxocyclohexyl]-2-hydroxy-2-phenylacetamide
- 4 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or
- 5 3S)-3-(fluorocyclohexyl)]-2-hydroxy-2-phenylacetamide
- 6 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or
- 7 3S)-3-fluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 8 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-2[(1R or 1S)-3, 3-
- 9 difluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 10 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3,3-
- 11 difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 12 (2R)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3,3-
- 13 difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 14 (2S)- (1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(2-(3,4-methylenedioxyphenyl)ethyl)-3-
- 15 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclohexyl]-2-hydroxy-2-
- 16 phenylacetamide

- 17 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl)-3-  
18 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-  
19 phenylacetamide
- 20 (2R)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(2-(3,4-methylenedioxyphenyl)ethyl)-3-  
21 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-  
22 phenylacetamide
- 23 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl)-3-  
24 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or 3S)-3-fluorocyclohexyl]-2-hydroxy-  
25 2-phenylacetamide
- 26 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl)-3-  
27 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or 3S)-3-fluorocyclopentyl]-2-hydroxy-  
28 2-phenylacetamide
- 29 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R  
30 or 1S)-3, 3-difluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 31 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R  
32 or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 33 (2R)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-  
34 [(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 35 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R  
36 or 1S, 3R or 3S)-3-fluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 37 (2S)-(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ )-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R  
38 or 1S, 3R or 3S)-3-fluorocyclopentyl]-2-hydroxy-2-phenylacetamide.
- 1 6. A pharmaceutical composition comprising a therapeutically effective amount of a  
2 compound as defined in any of claims 1-5 together with pharmaceutically acceptable  
3 carriers, excipients or diluents.

7. A method for treatment or prophylaxis of an animal or a human suffering from a disease or disorder of the respiratory, urinary and gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic receptors, comprising administering to said animal or human, a therapeutically effective amount of a compound having the structure of Formula I,



Formula I

and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein

Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be unsubstituted or substituted by one to three substituents independently selected from lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhaloalkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br, I), lower alkoxy (C<sub>1</sub>-C<sub>4</sub>), lower perhalo- alkoxy (C<sub>1</sub>-C<sub>4</sub>), unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>) or N-lower alkylamino carbonyl (C<sub>1</sub>-C<sub>4</sub>);

R<sub>1</sub> represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. fluorine, chlorine, bromine and iodine);

R<sub>2</sub> represents alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl ring in which any 1-4 hydrogen atoms are substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;

W represents (CH<sub>2</sub>)<sub>p</sub>, where p represents 0 to 1;

X represents an oxygen, sulphur, NR or no atom wherein R represents hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl;

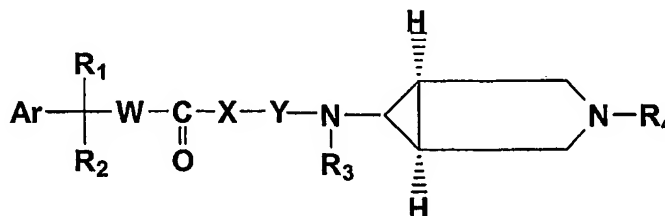
27 Y represents  $\text{CHR}_5\text{CO}$  wherein  $\text{R}_5$  represents hydrogen, methyl or  $(\text{CH}_2)_q$   
 28 wherein q represents 0 to 4;

29  $\text{R}_3$  represents hydrogen, lower alkyl or  $\text{CO}_2\text{C}(\text{CH}_3)_3$ ;

30  $\text{R}_6$  and  $\text{R}_7$  are independently selected from H, lower alkyl,  $\text{COOH}$ ,  $\text{CONH}_2$ ,  $\text{NH}_2$ ,  
 31  $\text{CH}_2\text{NH}_2$ ; and

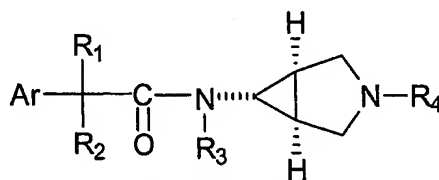
32  $\text{R}_4$  represents  $\text{C}_1\text{-C}_{15}$  saturated or unsaturated aliphatic hydrocarbon (straight chain  
 33 or branched) in which any 1 to 6 hydrogen atoms may be substituted with the  
 34 group independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl  
 35 or heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting  
 36 of nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen  
 37 atoms on an aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl  
 38 group may be substituted with lower alkyl ( $\text{C}_1\text{-C}_4$ ), lower perhalo alkyl ( $\text{C}_1\text{-C}_4$ ),  
 39 cyano, hydroxy, nitro, lower alkoxy, carbonyl, halogen, lower alkoxy ( $\text{C}_1\text{-C}_4$ ), lower  
 40 perhaloalkoxy ( $\text{C}_1\text{-C}_4$ ), unsubstituted amino, N-lower alkylamino ( $\text{C}_1\text{-C}_4$ ), N-lower  
 41 alkylamino carbonyl ( $\text{C}_1\text{-C}_4$ ).

- 1 8. The method according to claim 7 for treatment or prophylaxis of an animal or a  
 2 human suffering from a disease or disorder of the respiratory, urinary and  
 3 gastrointestinal systems, wherein the disease or disorder is mediated through  
 4 muscarinic receptors, comprising administering to said animal or human, a  
 5 therapeutically effective amount of a compound having the structure of Formula II  
 6 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates,  
 7 esters enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites,  
 8 wherein Ar,  $\text{R}_1$ ,  $\text{R}_2$ , W, X, Y,  $\text{R}_3$  and  $\text{R}_4$  are as defined for Formula I.



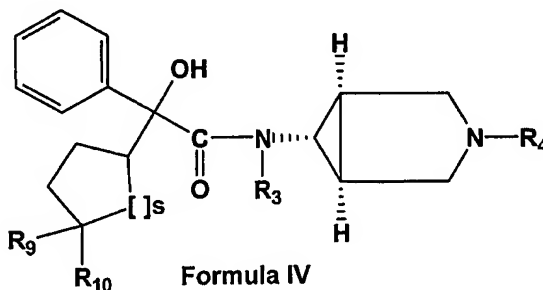
12 Formula II

9. The method according to claim 7 for treatment or prophylaxis of an animal or a human suffering from a disease or disorder of the respiratory, urinary and gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic receptors, comprising administering to said animal or human, a therapeutically effective amount of a compound having the structure of Formula III and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein Ar, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for Formula I.



Formula - III

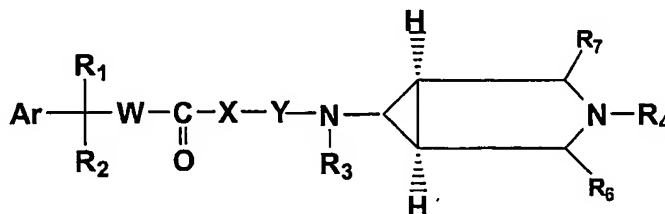
10. The method according to claim 7 for treatment or prophylaxis of an animal or a human suffering from a disease or disorder of the respiratory, urinary and gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic receptors, comprising administering to said animal or human, a therapeutically effective amount of a compound having the structure of Formula-IV and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein R<sub>3</sub> and R<sub>4</sub> are as defined for Formula I, s represents 1 to 2, R<sub>9</sub>=H or F, and R<sub>10</sub>=F.



Formula IV

11. The method according to claim 7 wherein the disease or disorder is urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic

- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel  
4 syndrome, obesity, diabetes and gastrointestinal hyperkinesis.
- 1 12. The method according to claim 8 wherein the disease or disorder is urinary  
2 incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic  
3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel  
4 syndrome, obesity, diabetes and gastrointestinal hyperkinesis.
- 1 13. The method of claim 9 wherein the disease or disorder is urinary incontinence,  
2 lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive  
3 pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,  
4 obesity, diabetes and gastrointestinal hyperkinesis.
- 1 14. The method of claim 10 wherein the disease or disorder is urinary incontinence,  
2 lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive  
3 pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,  
4 obesity, diabetes and gastrointestinal hyperkinesis.
- 1 15. The method for treatment or prophylaxis of an animal or a human suffering from a  
2 disease or disorder of the respiratory, urinary and gastrointestinal systems, wherein  
3 the disease or disorder is mediated through muscarinic receptors, comprising  
4 administering to said animal or human, a therapeutically effective amount of the  
5 pharmaceutical composition according to claim 6.
- 1 16. The method according to claim 15 wherein the disease or disorder is urinary  
2 incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic  
3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel  
4 syndrome, obesity, diabetes and gastrointestinal hyperkinesis.
- 1 17. A process of preparing compounds of Formula I,



Formula I



6 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,  
7 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites,  
8 wherein

9 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the  
10 group consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl  
11 rings may be unsubstituted or substituted by one to three substituents  
12 independently selected from lower alkyl ( $C_1-C_4$ ), lower perhaloalkyl ( $C_1-C_4$ ),  
13 cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br, I), lower alkoxy ( $C_1-C_4$ ), lower  
14 perhalo- alkoxy ( $C_1-C_4$ ), unsubstituted amino, N-lower alkylamino ( $C_1-C_4$ ) or N-  
15 lower alkylamino carbonyl ( $C_1-C_4$ );

16  $R_1$  represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or  
17 halogen (e.g. fluorine, chlorine, bromine and iodine);

18  $R_2$  represents alkyl,  $C_3-C_7$  cycloalkyl ring in which any 1-4 hydrogen atoms are  
19 substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;

20 W represents  $(CH_2)_p$ , where p represents 0 to 1;

21 X represents an oxygen, sulphur, NR or no atom wherein R represents  
22 hydrogen or  $C_1-C_6$  alkyl;

23 Y represents  $CHR_5CO$  wherein  $R_5$  represents hydrogen, methyl or  $(CH_2)_q$   
24 wherein q represents 0 to 4;

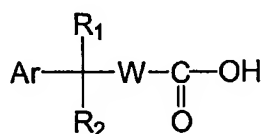
25  $R_3$  represents hydrogen, lower alkyl or  $CO_2C(CH_3)_3$ ;

26  $R_6$  and  $R_7$  are independently selected from H, lower alkyl,  $COOH$ ,  $CONH_2$ ,  $NH_2$ ,  
27  $CH_2NH_2$ ; and

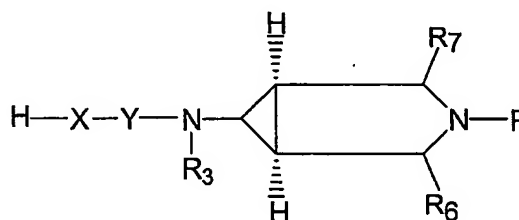
28  $R_4$  represents  $C_1-C_{15}$  saturated or unsaturated aliphatic hydrocarbon (straight chain  
29 or branched) in which any 1 to 6 hydrogen atoms may be substituted with the  
30 group independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl  
31 or heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting  
32 of nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen  
33 atoms on an aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl

group may be substituted with lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhalo alkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro, lower alkoxy, carbonyl, halogen, lower alkoxy (C<sub>1</sub>-C<sub>4</sub>), lower perhaloalkoxy (C<sub>1</sub>-C<sub>4</sub>), unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>), N-lower alkylamino carbonyl (C<sub>1</sub>-C<sub>4</sub>), comprising

(a) condensing a compound of Formula VI with a compound of Formula V

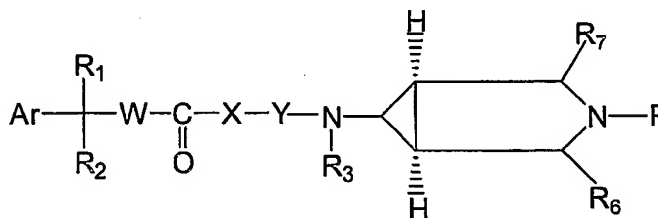


Formula VI



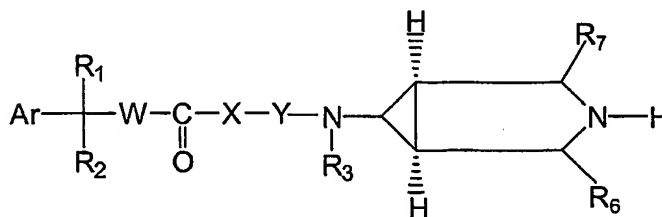
Formula V

wherein Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined earlier for Formula I, to give a protected compound of Formula VII wherein Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined earlier and P is a protecting group for an amino group,



Formula VII

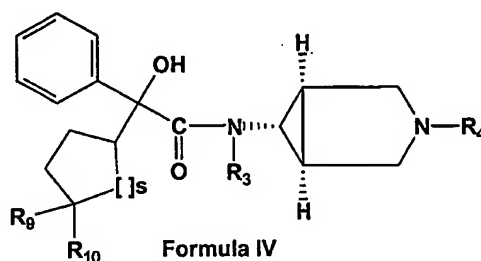
(b) deprotecting the compound of Formula VII in the presence of a deprotecting agent to give an unprotected compound of Formula VIII wherein Ar, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, W, X, Y, R<sub>6</sub> and R<sub>7</sub> are as defined earlier, and



Formula VIII

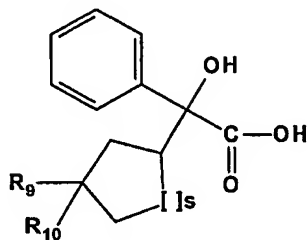
- 58 (c) N-alkylated or benzylated the compound of Formula VIII with a suitable  
59 alkylating or benzylating agent to give compounds of Formula I wherein  
60 Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>7</sub> are as defined earlier.
- 1 18. The process according to claim 17 wherein P is selected from the group consisting  
2 of benzyl and t-butyloxy carbonyl groups.
- 1 19. The process according to claim 17 wherein the reaction of a compound of formula  
2 V with a compound of Formula VI to give compounds of Formula VII is carried  
3 out in the presence of a condensing agent selected from the group consisting of 1-  
4 (3-dimethyl amino propyl)-3-ethyl carbodiimide hydrochloride (EDC) and 1,8-  
5 diazabicyclo [5.4.0] undec-7-ene 1,8-diazabicyclo [5.4.0] undec-7-ene.
- 1 20. The process according to claim 17 wherein the reaction of a compound of Formula  
2 V with a compound of Formula VI to give compounds of Formula VII is carried  
3 out in a suitable solvent selected from the group consisting of N,N-  
4 dimethylformamide, dimethylsulfoxide, toluene and xylene.
- 1 21. The process according to claim 17 wherein the reaction of a compound of Formula  
2 V with a compound of Formula VI is carried out at a temperature ranging from  
3 about 0°C to about 140°C.
- 1 22. The process according to claim 17 wherein the deprotection of a compound of  
2 Formula VII to give compounds of Formula VIII is carried out with a deprotecting  
3 agent selected from the group consisting of palladium on carbon, trifluoroacetic  
4 acid (TFA) and hydrochloric acid.
- 1 23. The process according to claim 17 wherein the deprotection of a compound of  
2 Formula VII to give compounds of Formula VIII is carried out in a suitable organic  
3 solvent selected from the group consisting of methanol, ethanol, tetrahydrofuran  
4 and acetonitrile.
- 1 24. The process according to claim 17 wherein the N-alkylation or benzylation of a  
2 compound of Formula VIII to give compounds of Formula I is carried out with a  
3 suitable alkylating or benzylating agent, L-R<sub>4</sub> wherein L is any leaving group and  
4 R<sub>4</sub> is as defined earlier.

- 1 25. The process according to claim 24 wherein the leaving group is selected from the  
2 group consisting of halogen, O-mestyl and O-tosyl groups.
- 1 26. The process according to claim 24 wherein the N-alkylation or benzylation of a  
2 compound of Formula VIII to give compounds of Formula I is carried out in a  
3 suitable organic solvent selected from the group consisting of N,N-  
4 dimethylformamide, dimethylsulfoxide, tetrahydrofuran and acetonitrile.
- 1 27. A process of preparing compounds of Formula IV,

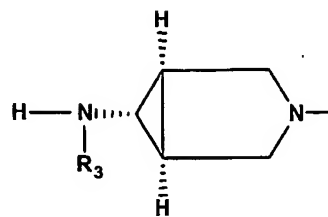


- 2
- 3 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,  
4 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or  
5 metabolites, wherein  $R_3$  represents hydrogen, lower alkyl or  $\text{CO}_2\text{C}(\text{CH}_3)_3$ ;  $R_4$   
6 represents  $\text{C}_1\text{-C}_{15}$  saturated or unsaturated aliphatic hydrocarbon (straight chain or  
7 branched) in which any 1 to 6 hydrogen atoms may be substituted with the group  
8 independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or  
9 heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of  
10 nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms  
11 on an aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group  
12 may be substituted with lower alkyl ( $\text{C}_1\text{-C}_4$ ), lower perhalo alkyl ( $\text{C}_1\text{-C}_4$ ), cyano,  
13 hydroxy, nitro, lower alkoxycarbonyl, halogen, lower alkoxy ( $\text{C}_1\text{-C}_4$ ), lower  
14 perhaloalkoxy ( $\text{C}_1\text{-C}_4$ ), unsubstituted amino, N-lower alkylamino ( $\text{C}_1\text{-C}_4$ ), N-lower  
15 alkylamino carbonyl ( $\text{C}_1\text{-C}_4$ ); s represents 1 to 2,  $R_9$  is H or F and  $R_{10}$  is F,  
16 comprising

(a) condensing a compound of Formula IX with a compound of Formula X

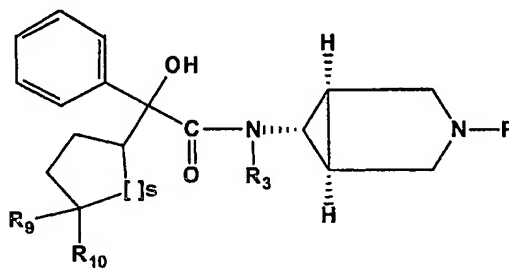


Formula IX



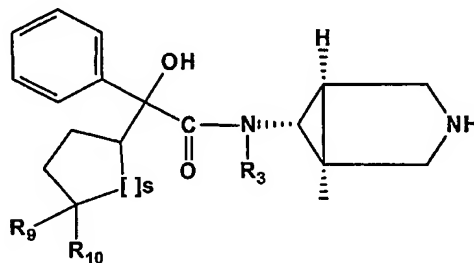
Formula X

wherein  $R_3$  and  $R_4$  are as defined earlier for Formula I,  $s$  represents 1 to 2,  $R_9$  is H or F and  $R_{10}$  is F, to give a protected compound of Formula XI wherein  $R_3$ ,  $R_4$ ,  $s$ ,  $R_9$  and  $R_{10}$  are as defined earlier and  $P$  is a protecting group for an amino group,



Formula XI

(b) deprotecting the compound of Formula XI in the presence of a deprotecting agent to give an unprotected compound of Formula XII wherein  $R_3$ ,  $R_4$ ,  $s$ ,  $R_9$  and  $R_{10}$  are as defined earlier, and



Formula XII

(c) N-alkylated or benzylated the compound of Formula XII with a suitable alkylating or benzylating agent to give compounds of Formula IV wherein  $R_3$ ,  $R_4$ ,  $s$ ,  $R_9$  and  $R_{10}$  are as defined earlier.

- 1 28. The process according to claim 27 wherein P is selected from the group consisting  
2 of benzyl and t-butyloxy carbonyl groups.
- 1 29. The process according to claim 27 wherein the reaction of a compound of Formula  
2 IX with a compound of Formula X to give compounds of Formula XI is carried out  
3 in the presence of a condensing agent selected from the group consisting of 1-(3-  
4 dimethylamino propyl)-3-ethyl carbodiimide hydrochloride (EDC) and 1,8-  
5 diazabicyclo [5.4.0] undec-7-ene (DBU).
- 1 30. The process according to claim 27 wherein the reaction of a compound of Formula  
2 IX with a compound of Formula X to give compounds of Formula XI is carried out  
3 in a suitable solvent selected from the group consisting of N,N-  
4 dimethylformamide, dimethylsulfoxide, toluene and xylene.
- 1 31. The process according to claim 27 wherein the reaction of a compound of Formula  
2 IX with a compound of Formula X is carried out at a temperature ranging from  
3 about 0°C to about 140°C.
- 1 32. The process according to claim 27 wherein the deprotection of compound of  
2 Formula XI to give compounds of Formula XII is carried out with a deprotecting  
3 agent selected from the group consisting of palladium on carbon, trifluoroacetic  
4 acid (TFA) and hydrochloric acid.
- 1 33. The process according to claim 27 wherein the deprotection of a compound of  
2 Formula XI to give compounds of Formula XII is carried out in a suitable organic  
3 solvent selected from the group consisting of methanol, ethanol, tetrahydrofuran  
4 and acetonitrile.
- 1 34. The process according to claim 27 wherein the N-alkylation or benzylation of a  
2 compound of Formula XII to give compounds of Formula IV is carried out with a  
3 suitable alkylating or benzylating agent, L-R<sub>4</sub> wherein L is any leaving group and  
4 R<sub>4</sub> is as defined earlier.
- 1 35. The process according to claim 34 wherein the leaving group is selected from the  
2 group consisting of halogen, O-mestyl and O-tosyl groups.

- 1 36. The process according to claim 34 wherein the N-alkylation or benzylation of a  
2 compound of Formula XII to give compounds of Formula IV is carried out in a  
3 suitable organic solvent selected from the group consisting of N,N-  
4 dimethylformamide, dimethylsulfoxide, tetrahydrofuran and acetonitrile.